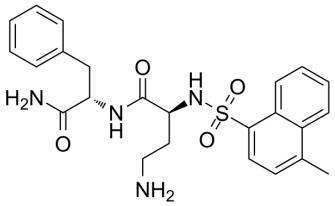
J-2156

Cat. No.:	HY-111615
CAS No.:	848647-56-3
Molecular Formula:	$C_{24}H_{28}N_4O_4S$
Molecular Weight:	468.57
Target:	Somatostatin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (533.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1342 mL	10.6708 mL	21.3415 mL
	5 mM	0.4268 mL	2.1342 mL	4.2683 mL
	10 mM	0.2134 mL	1.0671 mL	2.1342 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

J-2156 is a high potent, selective somatostatin receptor type 4 (SST4 receptor) agonist with IC_{50}s of 0.05 nM and 0.07 nM for human and rat SST4 receptors, respectively. J-2156 is used for the relief of mechanical allodynia and mechanical hyperalgesia in the ipsilateral hindpaws in rats[1][2].

IC_{50} & Target

IC50: 0.05 nM (human SST4) and 0.07 nM (rat SST4)[1]

In Vitro

J-2156 binds with nanomolar affinity to the human somatostatin receptor subtype 4 (h sst4: K_i=1.2 nM) and is over 400-fold subtype-selective against the other somatostatin receptors (h sst1: K_i=1.2 nM; h sst2: K_i>5000 nM; h sst3: K_i=1400 nM; h sst5: K_i=540 nM) in Chinese hamster ovary (CHO) cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

J-2156 (1-10 mg/kg; i.p.; for 3 hours) of single bolus doses has anti-allodynic effect on ipsilateral and contralateral in BCIBP-rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Breast cancer-induced bone pain (BCIBP)-rats[1]
Dosage:	1, 3, 10 mg/kg
Administration:	IP; for 3 hours
Result:	Had anti-allodynic effect on ipsilateral and contralateral in BCIBP-rats.

REFERENCES

[1]. Shenoy PA, et al. The Somatostatin Receptor-4 Agonist J-2156 Alleviates Mechanical Hypersensitivity in a Rat Model of Breast Cancer Induced Bone Pain. Front Pharmacol. 2018 May 15;9:495.

[2]. Mia Engström, et al. Superagonism at the Human Somatostatin Receptor Subtype 4. J Pharmacol Exp Ther. 2005 Jan;312(1):332-8.

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